

09-16-03

1453

Certificate of Mailing by Express Mail

Express Mail Label No.: EV 312852202 US

I hereby certify that this paper is being deposited with the United States Postal Service "Express Mail Post Office to Addressee" service under 37 C.F.R. §1.10 and is addressed to: Commissioner for Patents, P. O. Box 1450, Alexandria, VA 22313-1450 on the date indicated below.

By:

Barbara Bryant  
Barbara Bryant

Date:

September 15, 2003

Patent

Attorney's Docket No. P-022-RC1

Customer No. 27038

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

In re Patent Application of

JUDICE et al.

Application No.: 10/092,088

Filed: March 6, 2002

For: GLYCOPEPTIDE DERIVATIVES  
AND PHARMACEUTICAL  
COMPOSITIONS CONTAINING  
THE SAME

)  
)  
) Group Art Unit: 1653

)  
) Examiner: David Lukton

**INFORMATION DISCLOSURE STATEMENT**

Commissioner for Patents  
P. O. Box 1450  
Alexandria, VA 22313-1450

Sir:

In accordance with the duty of disclosure as set forth in 37 CFR §1.56, Applicants hereby submit the following information in conformance with CFR §§1.97 and 1.98. Enclosed herewith is form PTO-1449 which lists documents for consideration by the Examiner. Copies of the cited documents are also enclosed herewith.

The filing of this Information Disclosure Statement shall not be construed as a representation that a search has been made (37 C.F.R. §1.97(g)), or as an admission that the information cited is, or is considered to be, material to patentability (37 C.F.R. §1.97(h)).

The documents listed as B1, B2, B13, B14, B15 and B17 on the enclosed form PTO-1449 were cited in the PCT International Search Report mailed on May 18, 2000, for the corresponding PCT Application No. PCT/US99/30543. A copy of the PCT International Search Report is enclosed herewith for the convenience of the Examiner.

Additionally, the documents listed as A4, B1, B2, B14, B15, B19, and B22 on the enclosed form PTO-1449 were cited in the Search Report completed by the Austrian Patent Office on January 7, 2002, on behalf of the Intellectual Property Office of Singapore, for the corresponding Singaporean application. A copy of the Austrian Patent Office Search Report is also enclosed for the convenience of the Examiner.

Pursuant to 37 C.F.R. §1.98(a)(3)(i), a concise explanation of the relevance of the following non-English language document is provided:

(1) FUKUZAWA et al., "Modification of sugar part of glycopeptide antibiotic, vancomycin", Tennen Yuki Kagobutsu Toronkai Koen Yoshishua, 40th, pp 151-156 (1998) discloses various derivatives of vancomycin in which the C6 position of the glucose moiety in vancomycin has been modified to incorporate a functional group. An English-language abstract and an English-language translation of this document are also enclosed herewith.

Consideration of the documents listed on the enclosed PTO-1449 is respectfully requested. Additionally, the Examiner is respectfully requested to return an initialed copy of the enclosed form PTO-1449 to Applicants.

Additionally, Applicants hereby bring to the Examiner's attention the following commonly-assigned, copending applications and issued patents:

- (1) U.S. Patent No. 6,392,012, issued May 21, 2002;
- (2) U.S. Patent No. 6,444,786, issued September 3, 2002;
- (3) U.S. Patent No. 6,455,669, issued September 24, 2002;
- (4) U.S. Serial No. 09/776,466, filed February 2, 2001;
- (5) U.S. Serial No. 09/847,041, filed May 1, 2001;
- (6) U.S. Serial No. 09/847,042, filed May 1, 2001;
- (7) U.S. Serial No. 10/436,341, filed May 12, 2003;
- (8) U.S. Serial No. 09/847,052, filed May 1, 2001;
- (9) U.S. Serial No. 10/601,847, filed June 23, 2003;

(10) U.S. Serial No. 09/847,048, filed May 1, 2001; and

(11) U.S. Serial No. 09/847,061, filed May 1, 2001.

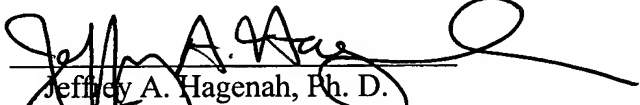
This Information Disclosure Statement is being filed before the mailing date of a first official action on the merits for this application and therefore, no fee or certification is required under 37 CFR §1.97(b). In the event that an Office Action is mailed prior to receipt of this paper, the Commissioner is hereby authorized to charge the requisite fees under 37 CFR §1.97(c) for submission of this paper to Deposit Account No. 50-0344.

Should there be any questions concerning the cited documents, the Examiner is encouraged to telephone the undersigned attorney for Applicants at (650) 808-6406 (direct).

Respectfully submitted,

THERAVANCE, INC.

Date: Sept. 15, 2003

By:   
Jeffrey A. Hagenah, Ph. D.  
Registration No. 35,175

THERAVANCE, INC.  
901 Gateway Boulevard  
South San Francisco, CA 94080  
Tel: (650) 808-6000  
Fax: (650) 808-6078

Substitution for form 1449A/PTO

**INFORMATION DISCLOSURE  
STATEMENT BY APPLICANT**

(Use as many sheets as necessary)

Sheet 1 of 4

**Complete if Known**

Application Number	10/092,088
Filing Date	March 6, 2002
First Named Inventor	J. Kevin JUDICE
Art Unit	1653
Examiner Name	David LUKTON
Attorney Docket Number	P-022-RC1

**U.S. PATENT DOCUMENTS**

Examiner Initials *	Cite No. <sup>1</sup>	Document Number	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear
		Number - Kind Code <sup>2</sup> (if known)			
	A1	US-4,497,802	02-05-1985	Debono	
	A2	US- 4,639,433	01-27-1987	Hunt et al.	
	A3	US- 4,643,987	02-17-1987	Nagarajan et al.	
	A4	US- 4,661,470	04-28-1987	Malabarba et al.	
	A5	US- 4,698,327	10-06-1987	Nagarajan et al.	
	A6	US- 4,914,187	04-03-1990	Malabarba et al.	
	A7	US- 5,534,420	07-09-1996	Debono et al.	
	A8	US- 5,591,714	01-07-1997	Nagarajan et al.	
	A9	US- 5,750,509	05-12-1998	Malabarba et al.	
	A10	US- 5,840,684	11-24-1998	Cooper et al.	
	A11	US- 5,843,889	12-01-1998	Cooper et al.	
	A12	US- 5,916,873	06-29-1999	Cooper et al.	
	A13	US- 5,919,756	07-06-1999	Cooper et al.	
	A14	US- 5,952,310	09-14-1999	Thompson et al.	
	A15	US- 5,952,466	09-14-1999	Berglund et al.	
	A16	US- 5,977,062	11-02-1999	Cooper et al.	
	A17	US- 5,977,063	11-02-1999	Thompson et al.	
	A18	US- 5,998,581	12-07-1999	Berglund et al.	

**FOREIGN PATENT DOCUMENTS**

Examiner Initials *	Cite No. <sup>1</sup>	Foreign Patent Document	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear	T <sup>6</sup>
		Country Code <sup>3</sup> - Number <sup>4</sup> - Kind Code <sup>5</sup> (if known)				
	B1	WO 88/06600 A1	09-07-1988	Gruppo Lepetit S.P.A.		
	B2	WO 90/11300 A1	10-04-1990	Gruppo Lepetit S.P.A.		
	B3	WO 97/28812 A2	08-14-1997	Giuliani S. A.		
	B4	WO 97/34623 A1	09-25-1997	Transcell Technologies, Inc.		
	B5	WO 97/38702 A1	10-23-1997	Eli Lilly and Company		
	B6	WO 97/40067 A1	10-30-1997	Biosearch Italia S.P.A.		
	B7	WO 98/21952 A1	05-28-1998	Eli Lilly and Company		
	B8	WO 98/52589 A1	11-26-1998	Eli Lilly and Company		
	B9	WO 98/52592 A1	11-26-1998	Eli Lilly and Company		
	B10	WO 99/42476 A1	08-26-1999	Advanced Medicine, Inc.		
	B11	WO 99/56760 A1	11-11-1999	Eli Lilly and Company		
	B12	WO 00/04044 A1	01-27-2000	Princeton University		
	B13	EP 0 182 157 A2	05-28-1986	Gruppo Lepetit S.P.A.		

Examiner  
SignatureDate  
Considered

\*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

SEP 15 2003

PTO/SB/08b(08-03)

Approved for use through 07/31/2006. OMB 0651-0031

U.S. Patent and Trademark Office; U.S. DEPARTMENT OF COMMERCE

Under the Paperwork Reduction Act of 1995, no persons are required to respond to a collection of information unless it contains a valid OMB control number

Substitute for form 1449B/PTO

**INFORMATION DISCLOSURE  
STATEMENT BY APPLICANT**

(Use as many sheets as necessary)

Sheet 2 of 4

**Complete if Known**

Application Number	10/092,088
Filing Date	March 6, 2002
First Named Inventor	J. Kevin JUDICE
Art Unit	1653
Examiner Name	David LUKTON
Attorney Docket Number	P-022-RC1

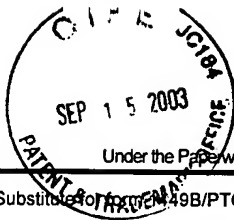
**FOREIGN PATENT DOCUMENTS**

Examiner Initials*	Cite No. <sup>1</sup>	Foreign Patent Document	Publication Date MM-DD-YYYY	Name of Patentee or Applicant of Cited Document	Pages, Columns, Lines, Where Relevant Passages or Relevant Figures Appear	T <sup>6</sup>
		Country Code <sup>3</sup> - Number <sup>4</sup> - Kind Code <sup>5</sup> (if known)				
	B14	EP 0 351 597 A2	01-24-1990	Gruppo Lepetit S.p.A.		
	B15	EP 0 376 041 A2	07-04-1990	Gruppo Lepetit S.p.A.		
	B16	EP 0 460 448 B1	12-11-1991	Gruppo Lepetit S.p.A.		
	B17	EP 0 525 499 A1	02-03-1993	Gruppo Lepetit S.p.A.		
	B19	EP 0 596 929 B1	07-26-1995	Gruppo Lepetit S.p.A.		
	B19	EP 0 667 353 A1	08-16-1995	Eli Lilly and Company		
	B20	EP 0 801 075 A1	10-15-1997	Eli Lilly and Company		
	B21	EP 0 802 199 A2	10-22-1997	Eli Lilly and Company		
	B22	EP 0 816 378 A1	01-07-1998	Eli Lilly and Company		

**NON PATENT LITERATURE DOCUMENTS**

Examiner Initials *	Cite No. <sup>1</sup>	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T <sup>2</sup>
	C1	ALLEN et al., "The Role of Hydrophobic Side Chains as Determinants of Antibacterial Activity of Semisynthetic Glycopeptide Antibiotics", The Journal of Antibiotics, Vol. 50, No. 8, pp 677-684 (1997)	
	C2	AXELSEN et al., "A Rational Strategy for Enhancing the Affinity of Vancomycin towards Dipeptide Ligands", Bioorganic & Medicinal Chemistry 6, pp 877-881 (1998)	
	C3	BOOTH et al., "The Edman Degradation of Vancomycin: Preparation of Vancomycin Hexapeptide", J. Chem. Soc., Chem. Commun., pp 1694-1695 (1987)	
	C4	COOPER et al., "Reductive Alkylation of Glycopeptide Antibiotics: Synthesis and Antibacterial Activity", The Journal of Antibiotics, Vol. 49, No. 6, pp 575-581 (1996)	
	C5	COOPER et al., "Chapter 14. Semisynthetic Glycopeptide Antibiotics", Annual Reports in Medicinal Chemistry, Volume 31, pp 131-140 (1996)	
	C6	FUKUZAWA et al., "Modification of sugar part of glycopeptide antibiotic, vancomycin", Tennen Yuki Kagobutsu Toronkai Koen Yoshishua, 40th, pp 151-156 (1998) (in Japanese with English language translation)	
	C7	GE et al., "Vancomycin Derivatives That Inhibit Peptidoglycan Biosynthesis Without Binding D-Ala-D-Ala", Science, Vol. 284, pp 507-511 (1999)	
	C8	KERNS et al., "Synthesis and Antibacterial Activity of Novel Carbohydrate Modified Derivatives of the Glycopeptide Antibiotic Vancomycin", Abstracts of Papers of the 216th ACS National Meeting, Boston, MA, August 23-27, 1998	
	C9	MACKAY et al., "Glycopeptide Antibiotic Activity and the Possible Role of Dimerization: A Model for Biological Signaling", J. Am. Chem. Soc., Vol. 116, pp 4581-4590 (1994)	
Examiner Signature		Date Considered	

\*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.



Substituted for Form 298B/PTO

**INFORMATION DISCLOSURE  
STATEMENT BY APPLICANT**

(Use as many sheets as necessary)

Sheet 3 of 4

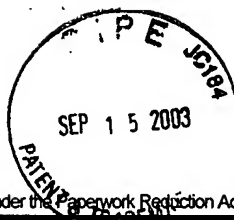
**Complete if Known**

Application Number	10/092,088
Filing Date	March 6, 2002
First Named Inventor	J. Kevin JUDICE
Art Unit	1653
Examiner Name	David LUKTON
Attorney Docket Number	P-022-RC1

**NON PATENT LITERATURE DOCUMENTS**

Examiner Initials *	Cite No. <sup>1</sup>	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T <sup>2</sup>
	C10	MALABARBA et al., "Amides of De-Acetylglucosaminy-Deoxy Teicoplanin Active Against Highly Glycopeptide-Resistant Enterococci", Vol. 47, No. 12, pp 1493-1506 (1994)	
	C11	MALABARBA et al., "Glycopeptide resistance in multiple antibiotic-resistant Gram-positive bacteria: a current challenge for novel semi-synthetic glycopeptide derivatives", Eur. J. Med. Chem., Vol. 32, pp 459-478 (1997)	
	C12	MALABARBA et al., "New Semisynthetic Glycopeptides MDL 63,246 and MDL 63,042, and Other Amide Derivatives of Antibiotic A-40,926 against Highly Glycopeptide-resistant VanA Enterococci", The Journal of Antibiotics, Vol. 48, No. 8, pp 869-883 (1995)	
	C13	MALABARBA et al., "Reductive Hydrolysis of the 59,60-Amide Bond of Teicoplanin Antibiotics: A Key Step from Natural to Synthetic Glycopeptides", J. Med. Chem., Vol. 37, pp 2988-2990 (1994)	
	C14	MALABARBA et al., "Structural Modifications of the Active Site in Teicoplanin and Related Glycopeptides. 1. Reductive Hydrolysis of the 1,2- and 2,3-Peptide Bonds", J. Org. Chem., Vol. 61, pp 2137-2150 (1996)	
	C15	MALABARBA et al., "Substitution of Amino Acids 1 and 3 in Teicoplanin Aglycon: Synthesis and Antibacterial Activity of Three First Non-natural Dalbaheptides", The Journal of Antibiotics, Vol. 50, pp 70-81 (1997)	
	C16	MALABARBA et al., "Synthesis and Biological Activity of Some Esters of the N-Acetylglucosaminy Aglycone and of the Aglycone of Teicoplanin", The Journal of Antibiotics, Vol. XL, No. 11, pp 1572-1587 (1987)	
	C17	MALABARBA et al., "Synthesis and Biological Properties of N <sup>63</sup> -Carboxamides of Teicoplanin Antibiotics. Structure-Activity Relationships", J. Med. Chem., Vol. 32, pp 2450-2460 (1989)	
	C18	NAGARAJAN, "Structure-Activity Relationships of Vancomycin-Type Glycopeptide Antibiotics", The Journal of Antibiotics, Vol. 46, No. 8, pp 1181-1195 (1993)	
	C19	NAGARAJAN et al., "Synthesis and Antibacterial Activity of N-Acyl Vancomycins", The Journal of Antibiotics, Vol. XLI, No. 10, pp 1430-1438 (1988)	
	C20	NAGARAJAN et al., "Synthesis and Antibacterial Evaluation of N-Alkyl Vancomycins", The Journal of Antibiotics, Vol. XLII, No. 1, pp 63-72 (1989)	
	C21	NICOLAOU et al., "Chemistry, Biology, and Medicine of the Glycopeptides Antibiotics", Angew. Chem. Int. Ed., Vol. 38, pp 2096-2152 (1999)	
	C22	OLSUFYEVA et al., "Chemical Modification of Antibiotic Eremomycin at the Asparagine Side Chain", The Journal of Antibiotics, Vol. 52, No. 3, pp 319-324 (1999)	
	C23	PAVLOV et al., "A New Type of Chemical Modification of Glycopeptides Antibiotics: Aminomethylated Derivatives of Eremomycin and Their Antibacterial Activity", The Journal of Antibiotics, Vol. 50, No. 6, pp 509-513 (1997)	
	C24	PAVLOV et al., "Chemical Modification of Glycopeptide Antibiotics [VC1], Russian Journal of Bioorganic Chemistry, Vol. 24, No. 9, pp 570-587 (1998)	
	C25	PAVLOV et al., "Mono and Double Modified Teicoplanin Aglycon Derivatives on the Amino Acid No. 7; Structure-activity Relationship", The Journal of Antibiotics, Vol. 51, No. 1, pp 73-78 (1997)	
Examiner Signature		Date Considered	

\*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.



<b>INFORMATION DISCLOSURE STATEMENT BY APPLICANT</b>  (Use as many sheets as necessary)		<b>Complete if Known</b>	
		Application Number	10/092,088
		Filing Date	March 6, 2002
		First Named Inventor	J. Kevin JUDICE
		Art Unit	1653
		Examiner Name	David LUKTON
Sheet 4 of 4	Attorney Docket Number	P-022-RC1	

NON PATENT LITERATURE DOCUMENTS			
Examiner Initials *	Cite No. <sup>1</sup>	Include name of the author (in CAPITAL LETTERS), title of the article (when appropriate), title of the item (book, magazine, journal, serial, symposium, catalog, etc.), date, page(s), volume-issue number(s), publisher, city and/or country where published.	T <sup>2</sup>
	C26	RODRIGUEZ et al., "Novel Glycopeptide Antibiotics: N-Alkylated Derivatives Active Against Vancomycin-Resistant Enterococci", Vol. 51, No. 6, pp 560-569 (1998)	
	C27	SHARMAN et al., "The Roles of Dimerization and Membrane Anchoring in Activity of Glycopeptide Antibiotics against Vancomycin-Resistant Bacteria", J. Am. Chem. Soc., Vol. 119, pp 12041-12047 (1997)	
	C28	SNYDER et al., "Enzymatic Deacylation of Teicoplanin Followed by Reductive Alkylation: Synthesis and Antibacterial Activity of New Glycopeptides", The Journal of Antibiotics, Vol. 51, No. 10, pp 945-951 (1998)	
	C29	STAROSKE et al., "Synthesis of Covalent Head-to-Tail Dimers of Vancomycin", Tetrahedron Letters 39, pp 4917-4920 (1998)	
	C30	SUNDRAM et al., "Novel Vancomycin Dimers with Activity against Vancomycin-Resistant Enterococci", J. Am. Chem. Soc., Vol. 118, pp 13107-13108 (1996)	
Examiner Signature			Date Considered

\*EXAMINER: Initial if reference considered, whether or not citation is in conformance with MPEP 609. Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.